

10/533,950

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	64	pyrrole NEAR3 functionaliz\$5	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/07 09:07
L2	12	l1 and (maleimide or succinimide)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/07 09:07
S1	167	polymer\$1 same (pyrrole\$1based or pyrrole adj based or pyrrole adj containing)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/07 09:06
S2	3	"8911649"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:52
S3	186	(\$4sensor or biochip\$1) same pyrrole	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:54
S4	162	S3 and polymer	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:54
S5	38	S4 and (pyrrole NEAR2 polymer)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:57
S6	0	NHS\$1pyrrole or maleimide\$1pyrrole	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:58

S7	2	NHS NEAR pyrrole or maleimide NEAR pyrrole	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:59
S8	2	(NHS NEAR pyrrole) or (maleimide NEAR pyrrole)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 16:59
S9	49	pyrrole NEAR3 (maleimide or NHS or succinimide)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:01
S10	35	S9 and polymer	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:03
S11	5467	array\$1 NEAR (DNA peptide)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:04
S12	6	S11 same (polypyrrole or pyrrole adj polymer or pyrrole\$1based or pyrrole adj containing)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:26
S13	7976	polypyrrole	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:27
S14	8	polypyrrole same (biosensorS1 or biochip\$1)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:29

S15	1	(pyrrole adj polymer) same (biosensorS1 or biochip\$1)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:30
S16	1	(pyrrole\$1based or pyrrole adj containing) same (biosensorS1 or biochip\$1)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2005/12/05 17:32

FULL ESTIMATED COST

161.33 161.54

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FILE LAST UPDATED: 6 Dec 2005 (20051206/ED)

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<http://www.cas.org/infopolicy.html>

=> s l3

L4 1035 L3

=> s l4 and pyrrole

32818 PYRROLE

6000 PYRROLES

34422 PYRROLE

(PYRROLE OR PYRROLES)

L5 11 L4 AND PYRROLE

=> d l5 ibib abs hitstr tot

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:558654 CAPLUS

DOCUMENT NUMBER: 142:246001

TITLE: Development of a spectroscopic assay for bifunctional ligand-protein conjugates based on copper

AUTHOR(S): Brady, Erik D.; Chong, Hyun-Soon; Milenic, Diane E.; Brechbiel, Martin W.

CORPORATE SOURCE: Radioimmune and Inorganic Chemistry Section, Radiation Oncology Branch, National Cancer Institute, NIH, Bethesda, MD, 20892, USA

SOURCE: Nuclear Medicine and Biology (2004), 31(6), 795-802  
CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple, non-radioactive method for the determination of ligand-to-protein ratio

(L/P) for novel ligand-antibody conjugates has been developed based on an exchange equilibrium with the purple Cu(II) complex of arsenazo III. The method requires a UV/Vis spectrometer and has been verified for monoclonal antibody Herceptin conjugates of a variety of ligand modalities, including common macrocyclic compds., NOTA and TETA, and with a new bifunctional tachpyridine (1H-Pyrrole-1-butanamide, N-[4-[(1 $\alpha$ ,3 $\alpha$ ,5 $\alpha$ )-3,5-bis[(2-pyridinylmethyl)amino]cyclohexyl](2-pyridinylmethyl)amino]butyl]-2,5-dihydro-2,5-dioxo-(9CI)). The

spectroscopically derived values for L/P were verified by titration of the ligand-antibody conjugate with  $^{64}\text{Cu}$ . In each case, the value obtained by UV/Vis spectroscopy matches that found by radiolabeling. The method is rapid, taking less than 30 min with each ligand in this study.

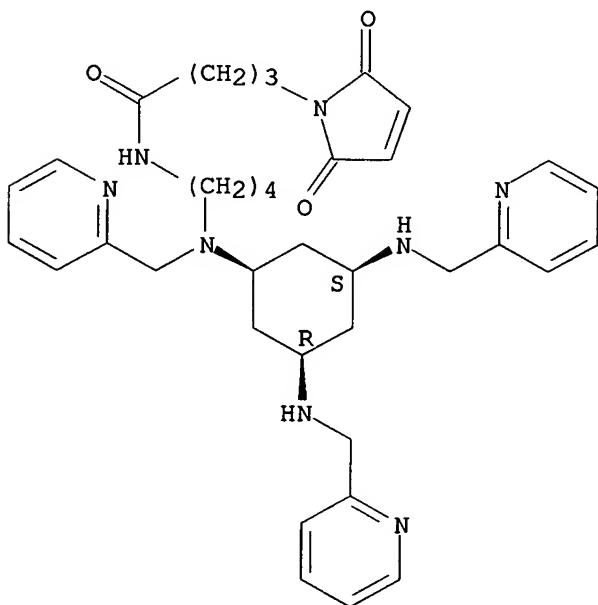
IT **479251-97-3DP**, conjugates with Herceptin  
 RL: ANT (Analyte); SPN (Synthetic preparation); THU (Therapeutic use);  
 ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(development of a spectroscopic assay for bifunctional ligand-herceptin  
 conjugates based on an copper arsenazo complex)

RN 479251-97-3 CAPLUS

CN 1H-Pyrrole-1-butanamide, N-[4-[[[(1 $\alpha$ ,3 $\alpha$ ,5 $\alpha$ )-3,5-bis[(2-pyridinylmethyl)amino]cyclohexyl](2-pyridinylmethyl)amino]butyl]-2,5-dihydro-2,5-dioxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:432770 CAPLUS

DOCUMENT NUMBER: 140:402833

TITLE: Method for immobilizing a protein on a **pyrrole**-based polymer and its use for manufacture of a sensor

INVENTOR(S): Roget, Andre; Livache, Thierry; Levy, Yves

PATENT ASSIGNEE(S): Commissariat A L'energie Atomique, Fr.

SOURCE: Fr. Demande, 50 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2847581	A1	20040528	FR 2002-14580	20021121
WO 2004048972	A1	20040610	WO 2003-FR50127	20031120
W: JP, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IT, LU, MC, NL, PT, RO, SE, SI, SK, TR  
 EP 1563304 A1 20050817 EP 2003-786063 20031120  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK  
 PRIORITY APPLN. INFO.: FR 2002-14580 A 20021121  
 WO 2003-FR50127 W 20031120

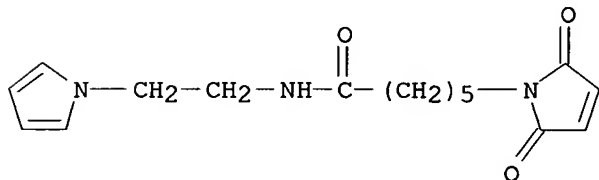
AB An invention involving a procedure for protein fixation on a **pyrrole**-based conducting polymer, usable in particular for the manufacture of a sensor or a multisensor. The procedure involves three steps: (1) coupling of protein to a **pyrrole** monomer to obtain the first solution, (2) preparation of a second solution of **pyrrole** not containing a protein, (3) mixture of the first solution with the second solution to obtain

the electropolymer. solution, (4) electropolymer. of the **pyrrole** with the protein-**pyrrole** monomer. The proteins used may include enzymes, antibodies, antigens, hormones or membrane receptors.

IT 690256-33-8DP, polymerization 690256-34-9DP, polymerization 690256-35-0DP, polymerization  
 RL: BUU (Biological use, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (biosensor preparation procedure by protein immobilization on **pyrrole**-based polymer)

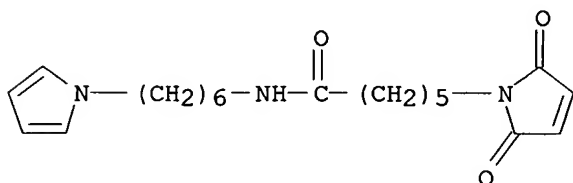
RN 690256-33-8 CAPLUS

CN 1H-Pyrrole-1-hexanamide, 2,5-dihydro-2,5-dioxo-N-[2-(1H-pyrrol-1-yl)ethyl]-  
 (9CI) (CA INDEX NAME)



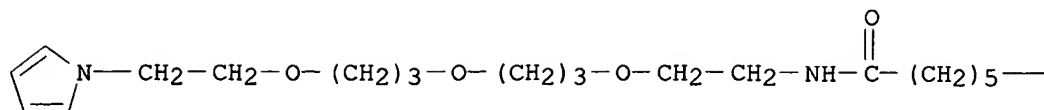
RN 690256-34-9 CAPLUS

CN 1H-Pyrrole-1-hexanamide, 2,5-dihydro-2,5-dioxo-N-[6-(1H-pyrrol-1-yl)hexyl]-  
 (9CI) (CA INDEX NAME)

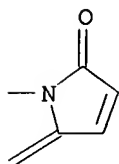


RN 690256-35-0 CAPLUS

CN 1H-Pyrrole-1-hexanamide, 2,5-dihydro-2,5-dioxo-N-[2-[3-[3-[2-(1H-pyrrol-1-yl)ethoxy]propoxy]propoxy]ethyl]- (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2001:851403 CAPLUS  
 DOCUMENT NUMBER: 136:1626  
 TITLE: Labelling of vectors for DNA delivery with non-covalently bound polyamides carrying an affinity label for a target cell type  
 INVENTOR(S): Pessi, Antonello; Fattori, Daniela; Ingallinella, Paolo; Bianchi, Elisabetta; Kinzel, Olaf  
 PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti, S.p.A., Italy  
 SOURCE: PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY-ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001088160	A2	20011122	WO 2001-IB980	20010511
WO 2001088160	A3	20020725		
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2408885	AA	20011122	CA 2001-2408885	20010511
EP 1290198	A2	20030312	EP 2001-932034	20010511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
US 2003207400	A1	20031106	US 2003-276734	20030512
PRIORITY APPLN. INFO.:			GB 2000-11938	A 20000517
			WO 2001-IB980	W 20010511

AB The present invention pertains to novel products suitable for use as gene delivery systems in which nucleic acid is linked to a ligand in order to facilitate delivery of the nucleic acid to a target cell or sub-cellular compartment via uptake of the ligand. More particularly, the present invention pertains to vectors comprising: (a) a double stranded DNA (dsDNA) having at least one target sequence; and, (b) a chimeric mol. comprising: (i) a sequence specific polyamide (SSP) moiety bound non-covalently to said target sequence; and, (ii) a ligand moiety linked

covalently to said sequence specific polyamide. The polyamide may be a peptide, but not necessarily. The present invention also pertains to compns. comprising such chimeric mols. and vectors; methods for making such chimeric mols. and vectors; and methods of using such chimeric mols. and vectors, e.g., to deliver nucleic acid vectors to cells or sub-cellular compartments. Synthesis of polyamides labeled with dyes or polysaccharide ligand groups is described.

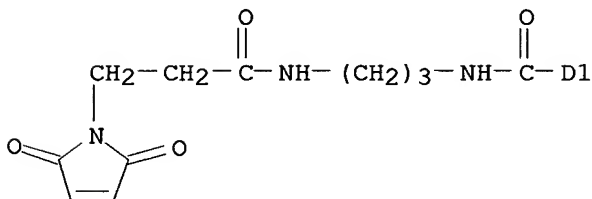
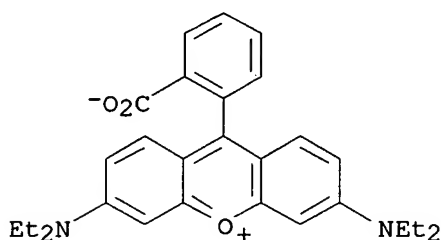
IT **375843-01-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactions of, in labeling of polyamides; labeling of vectors for DNA delivery with non-covalently bound polyamides carrying affinity label for target cell type)

RN 375843-01-9 CAPLUS

CN Xanthylum, 9-[2-carboxy-4(or 5)-[[[3-[[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxopropyl]amino]propyl]amino]carbonyl]phenyl]-3,6-bis(diethylamino)-, inner salt (9CI) (CA INDEX NAME)



IT **375843-02-0P**

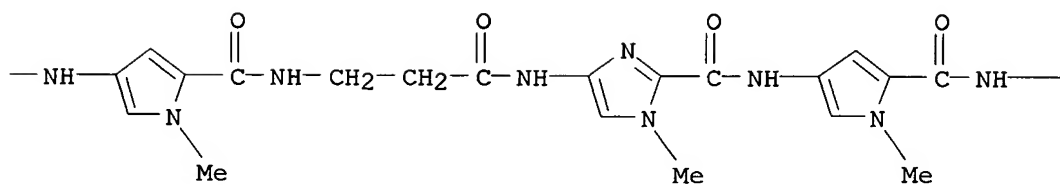
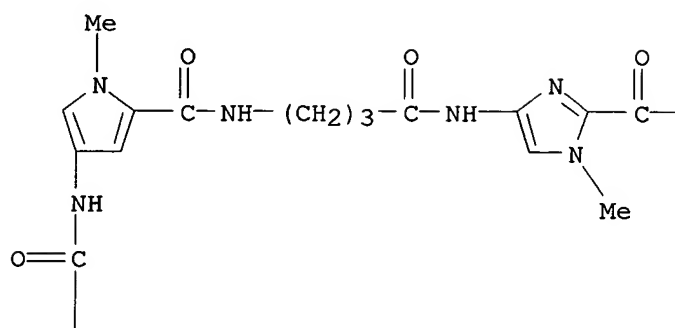
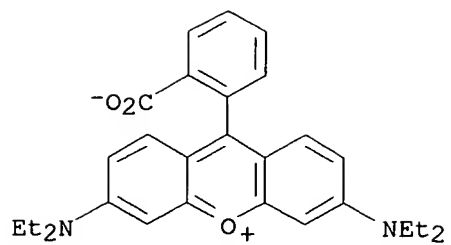
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactions of; labeling of vectors for DNA delivery with non-covalently bound polyamides carrying affinity label for target cell type)

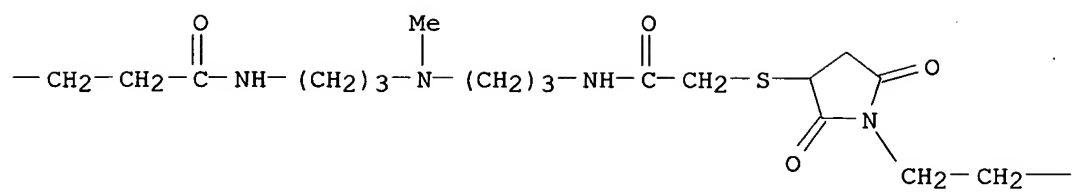
RN 375843-02-0 CAPLUS

CN Xanthylum, 9-[2-carboxy-4(or 5)-[[[3-[[3-[3-[7-methyl-16-[1-methyl-4-[[[1-methyl-4-[[3-[[[1-methyl-4-[[[1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[3-[[[1-methyl-4-[[[1-methyl-1H-imidazol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxopropyl]amino]-1H-imidazol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1H-imidazol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxopropyl]amino]-1H-imidazol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]-2,12,16-trioxo-3,7,11,15-tetraazahexadec-1-yl]thio]-2,5-dioxo-1-pyrrolidinyl]-1-oxopropyl]amino]propyl]amino]carbonyl]phenyl]-3,6-bis(diethylamino)-, inner salt (9CI) (CA INDEX NAME)

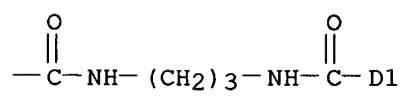


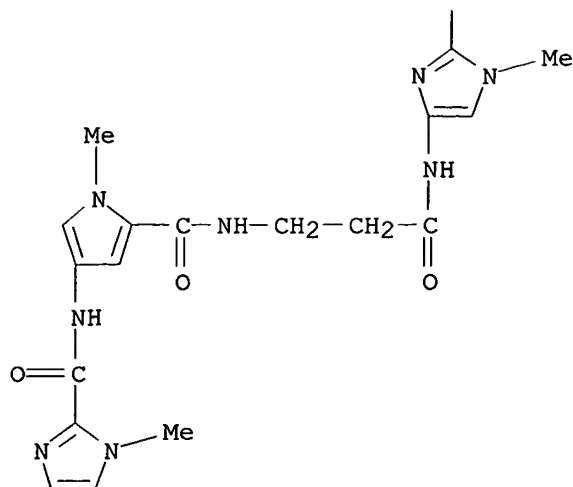


PAGE 1-C



PAGE 1-D





L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:34858 CAPLUS  
 DOCUMENT NUMBER: 132:93221  
 TITLE: Preparation of naphthalimidobenzamide derivatives as antitumor agents  
 INVENTOR(S): Noguchi, Kazuharu; Wakida, Motoji; Suzuki, Kenji; Yamada, Yuji; Asao, Tetsuji  
 PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 129 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001672	A1	20000113	WO 1999-JP3574	19990702
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2300069	AA	20000113	CA 1999-2300069	19990702
AU 9943963	A1	20000124	AU 1999-43963	19990702
AU 727591	B2	20001214		
EP 1020446	A1	20000719	EP 1999-926895	19990702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3357662	B2	20021216	JP 2000-558077	19990702
US 6300331	B1	20011009	US 2000-508044	20000303
PRIORITY APPLN. INFO.:			JP 1998-189078	A 19980703
			WO 1999-JP3574	W 19990702
OTHER SOURCE(S):		MARPAT 132:93221		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 2-(3-Carbamoylphenyl)-1H-benz[de]isoquinoline-1,3(2H)-dione derivs.  
 represented by general formula (I) or salts thereof (wherein R1 is

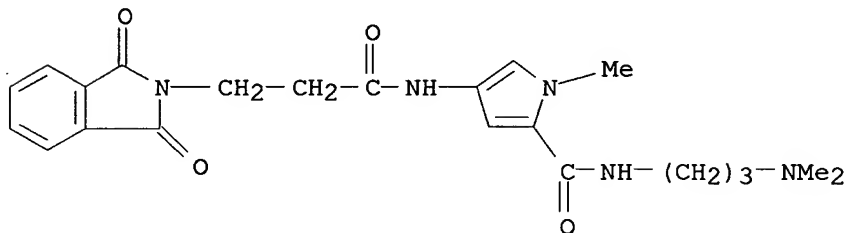
hydrogen, NO<sub>2</sub>, OH, NH<sub>2</sub>, halo, cyano, CO<sub>2</sub>H, CONH<sub>2</sub>, ureido, alkyl, trihaloalkyl, alkoxy, etc.; Y is hydrogen or -CON(R<sub>4</sub>)-A<sub>2</sub>-X<sub>2</sub>; R<sub>2</sub> and R<sub>4</sub> are each independently hydrogen or alkyl; A<sub>1</sub> and A<sub>2</sub> are each independently linear or branched alkylene which may be interrupted by N(R<sub>3</sub>), O, S, CONH, NHCO, S(O), or SO<sub>2</sub> (wherein R<sub>3</sub> is hydrogen or the like); X<sub>1</sub> is optionally substituted aryl, heteroaryl, aryldicarbonylimino, heteroaryldicarbonylimino, arylamino, heteroarylamino, arylcarbonylamino, etc.; and X<sub>2</sub> is H, optionally substituted aryl, heterocyclyl, aryldicarbonylimino, heteroaryldicarbonylimino, arylamino, heteroarylamino, arylcarbonyl, etc.; m = 1-3), which exhibit high affinity for DNA, are prepared. Thus, a suspension of 711 mg 1-[N-[2-[(2-aminoethyl)amino]ethyl]carbonyl]-3-(3-nitro-1,8-naphthalimido)-5-[N-(2-piperidinoethyl)carbonyl]benzene hydrochloride, 0.5 mL Et<sub>3</sub>N, and 243 mg 3-nitro-1,8-naphthalic anhydride in 4 mL DMF was stirred at 60° for 30 min to give 72.2% title compound (II.HCl). II.HCl in vivo inhibited the proliferation of human melanoma LOX, human pancreatic cancer PAN, human breast cancer MX1, and human stomach cancer AZ521 cells transplanted s.c. in nude mice by 96.2, 59.8, 71.8, and 79.5%, resp.

IT 254452-37-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of naphthalimidobenzamide derivs. as antitumor agents)

RN 254452-37-4 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:352830 CAPLUS

DOCUMENT NUMBER: 129:27933

TITLE: Aryl and heteroaryl substituted fused **pyrrole** antiinflammatory agents

INVENTOR(S): Zablocki, Jeffery A.; Tarlton, Eugene, Jr.; Rizzi, James P.; Mantlo, Nathan B.

PATENT ASSIGNEE(S): Amgen Inc., USA; Zablocki, Jeffery A.; Tarlton, Eugene, Jr.; Rizzi, James P.; Mantlo, Nathan B.

SOURCE: PCT Int. Appl., 258 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

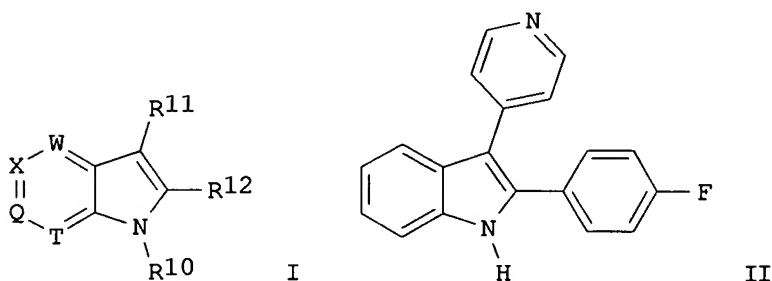
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822457	A1	19980528	WO 1997-US21344	19971118
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,				

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,  
 US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG

CA 2271767	AA	19980528	CA 1997-2271767	19971118
AU 9852659	A1	19980610	AU 1998-52659	19971118
AU 734841	B2	20010621		
EP 948495	A1	19991013	EP 1997-947617	19971118
EP 948495	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1246856	A	20000308	CN 1997-181372	19971118
JP 2001506980	T2	20010529	JP 1998-523914	19971118
AT 264318	E	20040415	AT 1997-947617	19971118
PT 948495	T	20040831	PT 1997-947617	19971118
ES 2215242	T3	20041001	ES 1997-947617	19971118
MX 9904598	A	20000228	MX 1999-4598	19990518
KR 2000057137	A	20000915	KR 1999-704405	19990519
US 6180643	B1	20010130	US 1999-269600	19990608
US 6440973	B1	20020827	US 2000-644102	20000823
US 2003096819	A1	20030522	US 2002-175182	20020618
US 6605634	B2	20030812		
PRIORITY APPLN. INFO.:			US 1996-31207P	P 19961119
			WO 1997-US21344	W 19971118
			US 1999-269600	A3 19990608
			US 2000-644102	A3 20000823
OTHER SOURCE(S):			MARPAT 129:27933	
GI				



AB The invention comprises a new class of novel aryl- and heteroaryl-substituted fused **pyrrole** compds. I [W, X, Q, T = N, CH, CR1-4; R1-4 = -Z-Y with provisos; Z = bond, alk(ane/ene/yne)diyl, heterocyclodiyl, (hetero)arylene; Y = H (when Z ≠ bond), halo, cyano, NO2, various acyl, (un)substituted OH, SH, or NH2; R10 = H, (un)substituted alk(en/yn)yl, various acyl or sulfonyl groups; R11, R12 = (un)substituted (hetero)aryl]. The compds. are useful for the prophylaxis and treatment of diseases or conditions mediated by TNF-α, IL-1β, IL-6 and/or IL-8, and other maladies, such as pain and diabetes. In particular, the compds. are useful for prophylaxis and treatment of inflammatory diseases or conditions. The invention also comprises pharmaceutical compns., methods of prophylaxis and treatment, use of compds. and compns., and intermediates and preparatory processes. For instance, amidation of 4-(2-aminobenzoyl)pyridine with 4-fluorobenzoyl chloride, and cyclization of the resultant keto amide using low-valent Ti from K/graphite/TiCl3, gave title compound II. This compound inhibited cyclooxygenase in vitro with an IC50 of ≤ 5 μM.

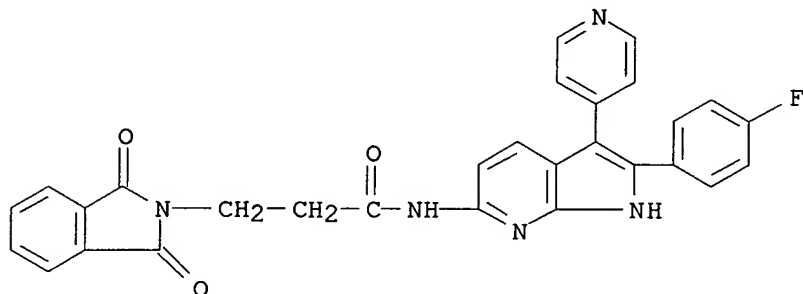
IT **208104-51-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryl- and heteroaryl-substituted, fused **pyrrole**  
antiinflammatory agents)

RN 208104-51-2 CAPLUS

CN 2H-Isoindole-2-propanamide, N-[2-(4-fluorophenyl)-3-(4-pyridinyl)-1H-  
pyrrolo[2,3-b]pyridin-6-yl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:805029 CAPLUS

DOCUMENT NUMBER: 128:115156

TITLE: Moenomycin A: new chemistry that allows to attach the  
antibiotic to reporter groups, solid supports, and  
proteins

AUTHOR(S): Kempin, Uwe; Hennig, Lothar; Knoll, Dietmar; Welzel,  
Peter; Muller, Dietrich; Markus, Astrid; Van  
Heijenoort, Jean

CORPORATE SOURCE: Institut fur Organische Chemie der Universitat  
Leipzig, Leipzig, D-04103, Germany

SOURCE: Tetrahedron (1997), 53(52), 17669-17690

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 128:115156

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Moenomycin A (I), on reaction with the diazonium salt derived from  
bifunctional (protected) II, yields the coupling product III (R1 =  
2-pyridylthio) which on reduction is converted into the moenomycin thiol  
derivative III (R1 = H). Thiol III (R1 = H) has been used to selectively  
prepare dansyl and biotin adducts. This work was performed with the aim to  
use moenomycin as a tool for studies of the transglycosylation step in  
peptidoglycan biosynthesis.

IT 201666-67-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)

(preparation of moenomycin thiol derivative for attachment to reporter  
groups,  
solid supports, and proteins)

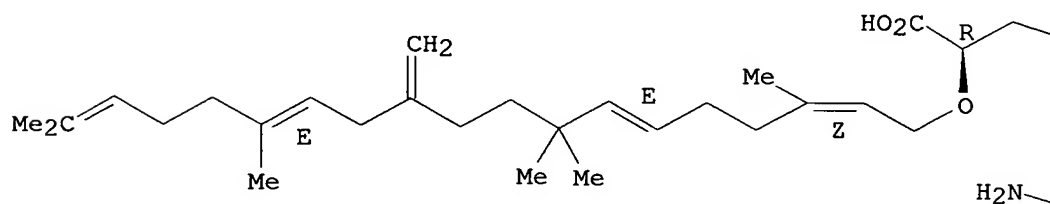
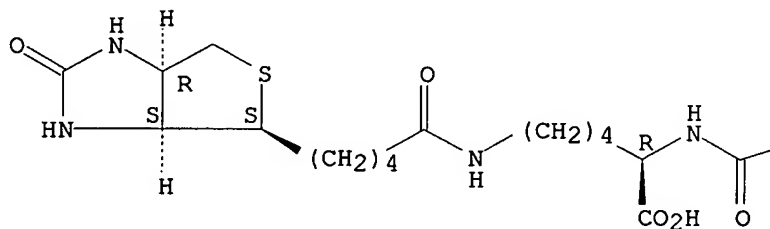
RN 201666-67-3 CAPLUS

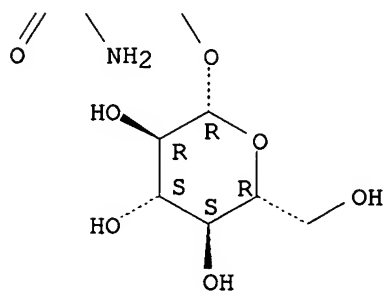
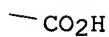
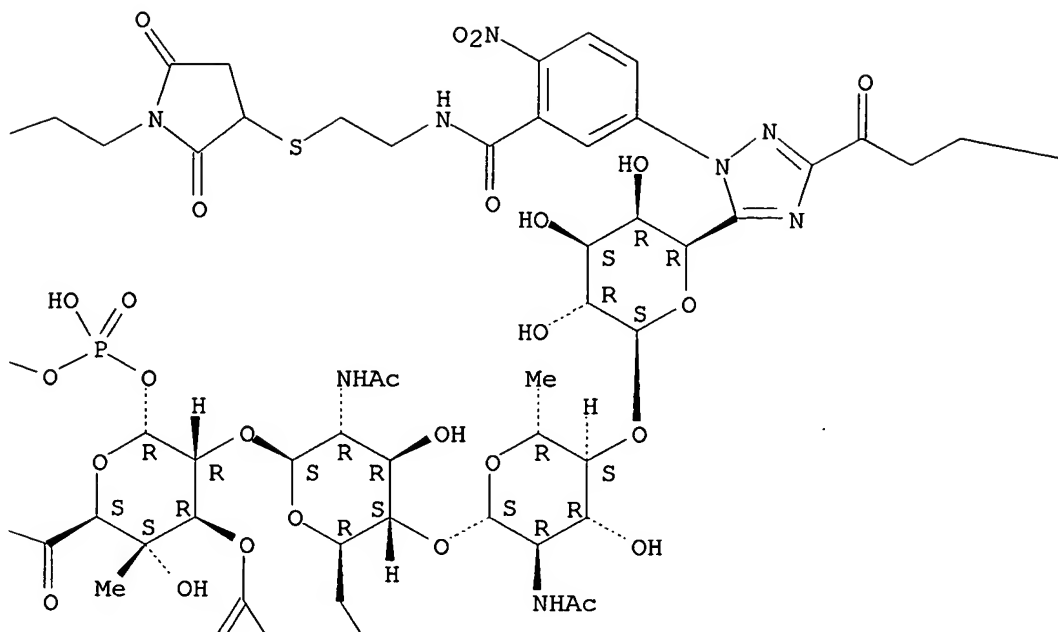
CN  $\alpha$ -D-Glucopyranuronamide, O-(5R)-5-C-[1-[3-[[[2-[[1-[3-[[ (1R)-1-carboxy-5-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]pentyl]amino]-3-oxopropyl]-2,5-dioxo-3-pyrrolidinyl]thio]ethyl]amino]carbonyl]-4-nitrophenyl]-3-(3-carboxy-1-oxopropyl)-1H-1,2,4-triazol-5-yl]- $\alpha$ -L-arabinopyranosyl-(1 $\rightarrow$ 4)-O-2-(acetamino)-2,6-dideoxy- $\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 4)-O-[ $\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 6)]-O-2-(acetamino)-2-deoxy- $\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 2)-4-C-methyl-, 3-carbamate 1-[(2R)-2-carboxy-2-[[ (2Z,6E,13E)-3,8,8,14,18-pentamethyl-11-methylene-2,6,13,17-nonadecatetraenyl]oxy]ethyl hydrogen phosphate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A





IT 102849-12-7

RL: RCT (Reactant); RACT (Reactant or reagent)

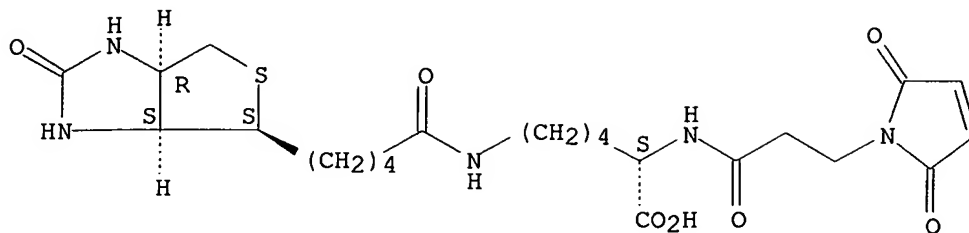
(preparation of moenomycin thiol derivative for attachment to reporter groups, solid supports, and proteins)

RN 102849-12-7 CAPLUS

CN L-Lysine, N2-[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxopropyl]-N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-(9CI) (CA INDEX NAME)



Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:400827 CAPLUS

DOCUMENT NUMBER: 122:239411

TITLE: Towards synthetic-porphyrin/monoclonal antibody conjugates

AUTHOR(S): Milgrom, Lionel R.; O'Neill, Faye

CORPORATE SOURCE: Dep. Chem., Brunel Univ., Uxbridge/Middlesex, UB8 3PH, UK

SOURCE: Tetrahedron (1995), 51(7), 2137-44

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:239411

AB The synthesis of an unsym. meso-aminoalkoxyphenyl-substituted porphyrin, and its conjugation to a monoclonal antibody (mAb), are described.

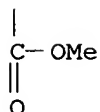
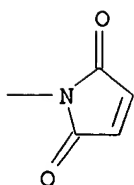
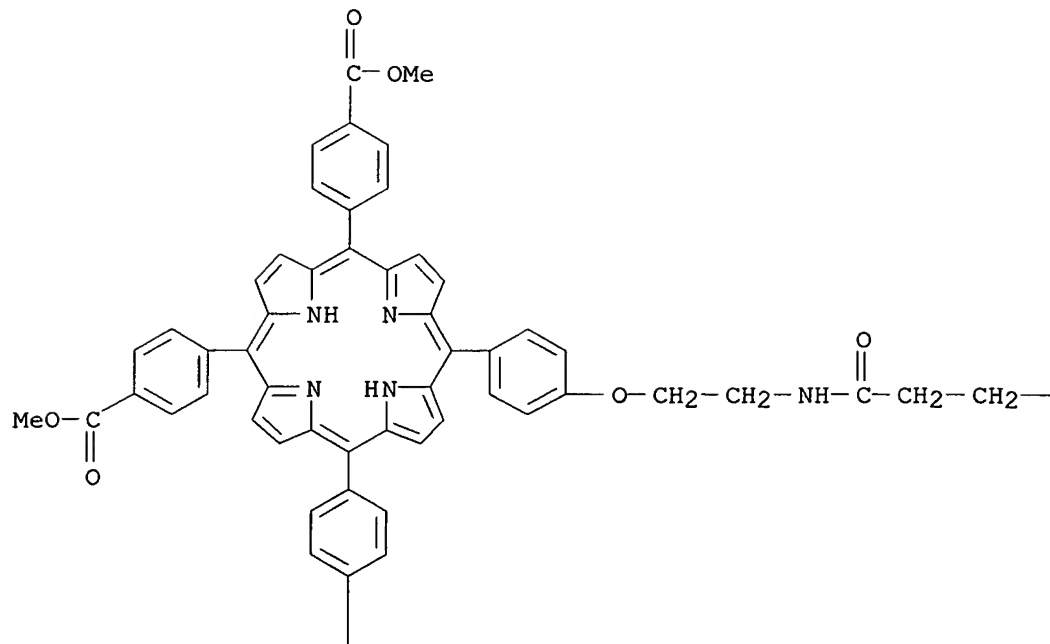
IT **162378-16-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of porphyrin-monoclonal antibody conjugate)

RN 162378-16-7 CAPLUS

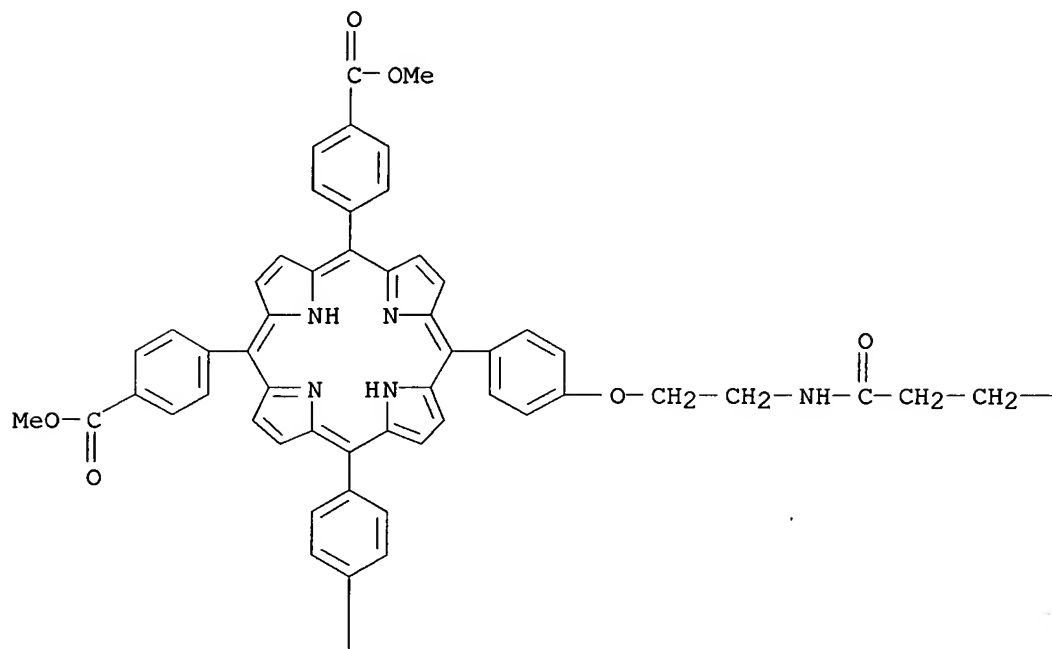
CN Benzoic acid, 4,4',4''-[20-[4-[2-[[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxopropyl]amino]ethoxy]phenyl]-21H,23H-porphin-5,10,15-triyl]tris-, trimethyl ester (9CI) (CA INDEX NAME)



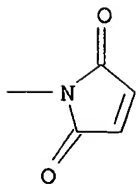
IT **162378-16-7DP**, thiolated monoclonal antibody conjugate  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of porphyrin-monoclonal antibody conjugate)  
 RN 162378-16-7 CAPLUS  
 CN Benzoic acid, 4,4',4''-[20-[4-[2-[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-

yl)-1-oxopropyl]amino]ethoxy]phenyl]-21H,23H-porphin-5,10,15-triyl]tris-,  
trimethyl ester (9CI) (CA INDEX NAME)

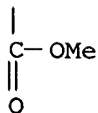
PAGE 1-A



PAGE 1-B



PAGE 2-A



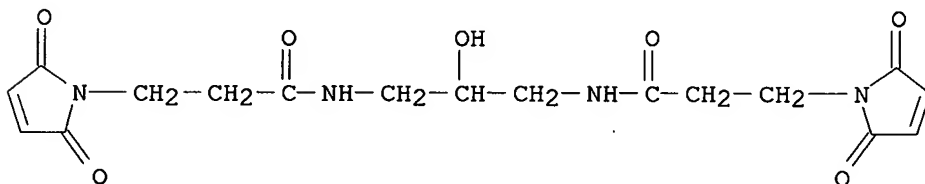
ACCESSION NUMBER: 1993:490598 CAPLUS  
DOCUMENT NUMBER: 119:90598  
TITLE: Metal porphyrin chemiluminescence reaction and application to immunoassay  
AUTHOR(S): Motsenbocker, M.; Ichimori, Y.; Kondo, K.  
CORPORATE SOURCE: Pharm. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan  
SOURCE: Analytical Chemistry (1993), 65(4), 397-402  
CODEN: ANCHAM; ISSN: 0003-2700  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A new luminol chemiluminescence chemical system is described which does not require preparation or use of an oxidizer such as hydrogen peroxide. In the reaction a metal porphyrin catalyzes luminol chemiluminescence in a high pH solution. A comparison of porphyrin catalysts showed that a metal atom is needed in the porphyrin, manganese works better than iron, and substitution of strongly electron withdrawing groups at the para positions of the tetrapyrrole porphine with no substitution of the **pyrrole** rings gives the best activity. The metal porphyrin chemiluminescence system is enhanced by the presence of unsatd. long-chain fatty acid in the reaction solution. Sensitivity of detection of Mn meso-tetrakis-(4-sulfonatophenyl)porphine was 120 amol. Coupling reactions of a carboxyphenyl derivative to antibodies were optimized, and a detection limit of 68 amol was obtained for a metal porphyrin antibody conjugate. An  $\alpha$ -fetoprotein immunoassay developed using the chemiluminescence reaction had a detection limit of 20 pg (0.2 fmol). Good correlation was found ( $R = 0.99$ ) between immunoassay results and  $\alpha$ -fetoprotein in 32 human plasma samples. Because the reaction solution needed for Me porphyrin chemiluminescence is very simple (luminol in NaOH/water) and has good stability, this chemiluminescence detection system may have application to automated assays.

IT 115388-98-2DP, reaction products with proteins  
RL: PREP (Preparation)  
(preparation of, for chemiluminescence immunoassays)

RN 115388-98-2 CAPLUS

CN 1H-Pyrrole-1-propanamide, N,N'-(2-hydroxy-1,3-propanediyl)bis[2,5-dihydro-2,5-dioxo- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:183399 CAPLUS  
DOCUMENT NUMBER: 118:183399  
TITLE: Trifunctional compounds having specificity for multi-drug-resistant (MDR) cells  
INVENTOR(S): Grauer, Lana S.; Ahlem, Clarence N.  
PATENT ASSIGNEE(S): Hybritech Inc., USA  
SOURCE: PCT Int. Appl., 50 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302105	A1	19930204	WO 1992-US6043	19920717
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2113578	AA	19930204	CA 1992-2113578	19920717
AU 9224006	A1	19930223	AU 1992-24006	19920717
EP 596011	A1	19940511	EP 1992-916746	19920717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
PRIORITY APPLN. INFO.:			US 1991-732969	A 19910719
			WO 1992-US6043	A 19920717

AB Trifunctional compds. are disclosed which have specific reactivity with P-glycoproteins associated with MDR tumor cells. The compds. can optionally be specific for diagnostic and/or therapeutic agents. Also provided are methods for diagnosing and treating patients with tumors expressing P-glycoproteins associated with MDR cells. The trifunctional compds. of the invention are L(X1)(X2)(X3) (L = crosslinking agent; X1-X3 = antibody fragment Fab'-like moieties,  $\geq 1$  of which has specific reactivity with a P-glycoprotein associated with a MDR cell). Thus, a monoclonal antibody (LS2H241) was produced against SH-SY5Y/VCR human neuroblastoma cells, and F(ab)'2 fragments were produced from the monoclonal antibody. A trifunctional compound was prepared which contained 2 of the above F(ab)'2 fragments and 1 F(Ab)'2 fragment derived from a mouse-human chimeric antibody with specificity for the In-EDTA chelate; the crosslinking agent used was N,N'-bis(3-maleimidopropionyl)-2-hydroxy-1,3-propanediamine. The prepared trifunctional compound bound to membranes of P-glycoprotein-expressing MDR cell line CEM/VLB100, while binding minimally to membranes of drug-sensitive cell line CCRF-CEM (which contain very low levels of P-glycoprotein). Preincubation of drug-resistant MC-IXC/VCR human neuroepithelioma cells with the trifunctional compound prior to incubation with actinomycin D resulted in a .apprx.4-fold increase in intracellular drug concentration over control cells. Biodistribution of the trifunctional compound and an  $^{111}\text{In}$  chelate is also reported.

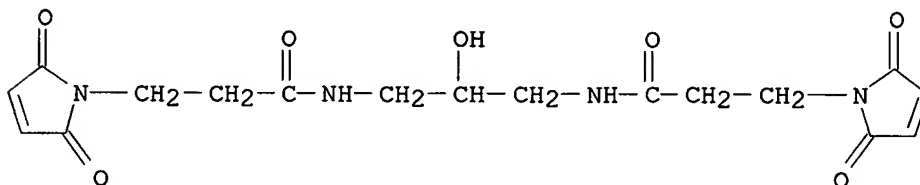
IT 115388-98-2

RL: BIOL (Biological study)

(as crosslinking agent, in preparation of trifunctional compound with antibody fragment specific for P-glycoprotein)

RN 115388-98-2 CAPLUS

CN 1H-Pyrrole-1-propanamide, N,N'-(2-hydroxy-1,3-propanediyl)bis[2,5-dihydro-2,5-dioxo- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:651668 CAPLUS

DOCUMENT NUMBER: 115:251668

TITLE: Antibody-labeled liposomes for diagnosis and therapy

INVENTOR(S): Langhals, Heinz; Schott, Herbert; Schwendener, Reto Albert

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 19 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3935257	A1	19910425	DE 1989-3935257	19891023
PRIORITY APPLN. INFO.:			DE 1989-3935257	19891023

OTHER SOURCE(S): MARPAT 115:251668

AB Antibodies are coupled to labeled liposomes with N-succinimidyl-2-(2-pyridyldithio)propionate or N-succinimidyl-S-acetylthioacetate (SATA), preferably SATA. The liposomes are formed from soybean phosphatidylcholines, cholesterol, maleimide derivs., and  $\alpha$ -tocopherol at a ratio of (0.2-3):(0.05-0.5):(0.005-0.1):(0.001-0.1). The antibodies are coupled through the SH group of the derivatized antibody and the maleimido group of the liposome. Preferred labels are perylene dyes, especially N,N'-bis(1-hexylheptyl)-3,4:9,10-perylenebis(dicarboxamide) (BHPD). The preferred antibodies are monoclonal antibodies to histocompatibility antigen H-2Kb or melanoma antigen. The liposomes are useful for therapy and diagnosis, e.g. AIDS diagnosis. The antibodies may also be immobilized through biotin-avidin complexes. Liposomes were prepared from phosphatidylcholine, cholesterol, D,L- $\alpha$ -tocopherol, N4-oleylcytosine arabinoside, and N6-(6-maleimidocaproyl-N2-palmitoyl)-L-lysine Me ester (preparation described), which were then coupled to SATA-derivatized monoclonal antibodies.

IT 130252-72-1

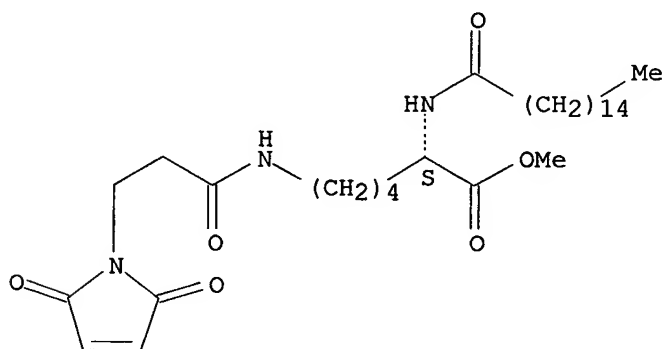
RL: ANST (Analytical study)

(liposomes containing, antibodies coupled to, succinimidyl coupling agents for)

RN 130252-72-1 CAPLUS

CN L-Lysine, N6-[3-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxopropyl]-N2-(1-oxohexadecyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 130278-12-5P

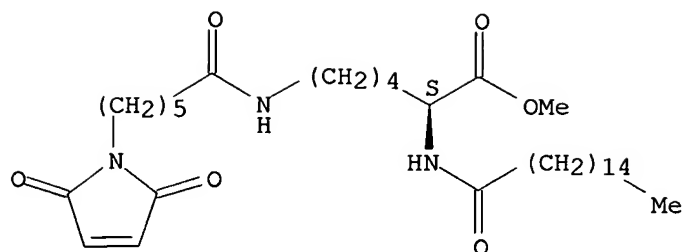
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, for antibody coupling to liposomes, succinimidyl coupling agents for)

RN 130278-12-5 CAPLUS

CN L-Lysine, N6-[6-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxohexyl]-N2-(1-oxohexadecyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:467721 CAPLUS

DOCUMENT NUMBER: 115:67721

TITLE: Specific interchain crosslinking of antibodies using bismaleimides. Repression of ligand leakage in immunoaffinity chromatography

AUTHOR(S): Goldberg, Michel; Knudsen, Kaja L.; Platt, David;

Kohen, Fortune; Bayer, Edward A.; Wilchek, Meir

CORPORATE SOURCE: Dep. Biophys., Weizmann Inst. Sci., Rehovot, Israel

SOURCE: Bioconjugate Chemistry (1991), 2(4), 275-80

CODEN: BCCHE5; ISSN: 1043-1802

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To overcome the problem of leaching of antibody (or portions thereof) from immunoaffinity resin during elution of the target antigen (e.g., proteins) by combined use of reducing (i.e., thiols) and chaotropic (e.g., detergents and denaturants) agents, the 4 antibody chains were crosslinked at their sites of disulfide interlinkage, thus producing a single antibody chain. To accomplish this, interchain disulfide bonds were reduced, and the resultant thiol groups were crosslinked by using bifunctional SH-specific reagents (particularly bismaleimides. Crosslinking of up to 95% of the available SH groups produced was achieved with concomitant retention of antigen-binding activity. The crosslinked antibody was immobilized onto CNBr-activated Sepharose, and the resultant column was substantially more stable to harsh elution conditions than similar columns that contain the uncrosslinked antibody.

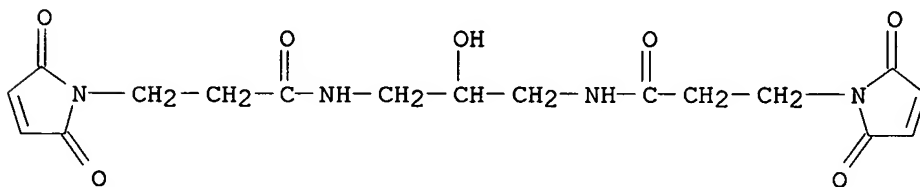
IT 115388-98-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(crosslinking by, of antibody chains for immunoaffinity chromatog.)

RN 115388-98-2 CAPLUS

CN 1H-Pyrrole-1-propanamide, N,N'-(2-hydroxy-1,3-propanediyl)bis[2,5-dihydro-2,5-dioxo- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

65.68

227.22

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:35:46 ON 07 DEC 2005  
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DICTIONARY FILE UPDATES: 6 DEC 2005 HIGHEST RN 869462-96-4

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

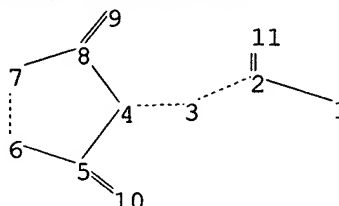
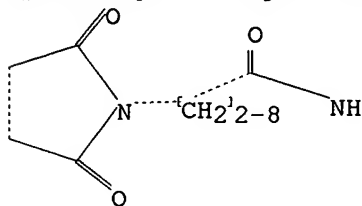
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10533950b.str



chain nodes :

1 2 3 9 10 11



ring nodes :  
 4 5 6 7 8  
 chain bonds :  
 1-2 2-3 2-11 3-4 5-10 8-9  
 ring bonds :  
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 exact/norm bonds :  
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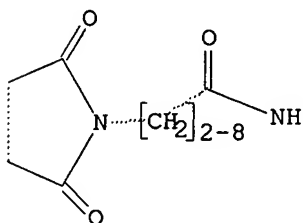
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 10:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:36:04 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 14133 TO 17507

PROJECTED ANSWERS: 3313 TO 5047

L2 50 SEA SSS SAM L1

=> s l2 sss full

FULL SEARCH INITIATED 10:36:17 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 16380 TO ITERATE

100.0% PROCESSED 16380 ITERATIONS

4322 ANSWERS

SEARCH TIME: 00.00.01

L3 4322 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION